

## CLAIMS

1. A method for producing a controlled-release pharmaceutical preparation with a particle-containing coating comprising the steps of:

- preparing a drug-containing solid core;
- suspending a pore-forming agent having a balanced solubility in an aqueous dispersion of a film-forming, essentially water insoluble polymer in order to form a coating suspension having a predetermined amount of solid particles of the pore-forming agent suspended therein
- coating the solid core with the obtained suspension; and
- drying the coated tablet

2. A method according to claim 1, wherein the solubility of the pore-forming agent is below 100 mg/ml, preferably below 50 and most preferably below 30 mg/ml in the aqueous coating dispersion.

3. A method according to any one of the claims 1-2, wherein the mean particle size of the pore-forming agent is 0.1-500  $\mu\text{m}$ , preferably is 0.5-100  $\mu\text{m}$  and most preferably 1-25  $\mu\text{m}$ .

4. A method according to any one of the claims 1-3, wherein the pore-forming agent is selected from a group consisting of potassium salts, calcium salts, magnesium salts, amino acids, weak acids, carbohydrates, polymers with amino and/or acid functions or a composition wherein at least one of the components is selected from one of these groups.

5. A method according to any one of the claims 1-4, wherein the pore-forming agent is potassium bitartrate, creatine, asparagine, glutamine, aspartic acid, glutamic acid, leucine, neroleucine, inosine, isoleucine, magnesium citrate, magnesium phosphate, magnesium carbonate, magnesium hydroxide, magnesium oxide or a composition wherein at least one component is selected from one of these substances.

6. A method according to any one of the claims 1-5, wherein the pore-forming agent is chitosan and poly(butyl methacrylate, (2-dimethyl aminoethyl) methacrylate, methyl methacrylate) 1:2:1.

5        7. A method according to any of the claims 1-6,  
wherein the water insoluble polymer is selected from one  
of the groups of cellulose esters, acrylic polymers,  
polyvinyl acetates, polyvinyl chlorides or a composition  
wherein at least one component is selected from one of  
10      the groups.

8. A method according to any one of the claims 1-7,  
wherein the coating polymer is ethylcellulose, cellulose-  
acetate, celluloseacetatebutyrate, celluloseacetatepropi-  
onate, nitrocellulose, polymethylmethacrylate,  
15 poly(ethylacrylate, methylmethacrylate), polyvinylacetate,  
polyvinylchloride, polyethylene, polyisobutylene,  
poly(ethylacrylate, methylmethacrylate, trimethylamo-  
nioethylmethacrylatechloride), a block- or copolymer of the  
polymers or a composition wherein at least one of the  
20 components is selected from these polymers.

9. A method according to any one of the claims 1-7, wherein the coating polymer is a copolymer consisting of 50-100% by weight of polyvinyl chloride and 0-50% by weight of polyvinyl acetate.

25 10. A method according to any one of the claims 1-7,  
wherein the coating polymer is a copolymer consisting of  
80-95% by weight of polyvinylchloride, 0,5-19% by weight  
of polyvinylacetate and 0,5-10% by weight of polyvinyl-  
alcohol.

30        11. A method according to any one of the claims 1-  
10, wherein the solid core includes at least one drug  
selected from the group consisting of tranquillizers, an-  
tibiotics, hypnotics, antihypertensives, antianginas, an-  
algesics, antiinflamatorics, neuroleptics, antidiabetics,  
35        diuretics, anticholinergics, antihyperacidics or antiepi-  
leptics, ACE inhibitors,  $\beta$ -receptor antagonists and ago-  
nists, anaesthetics, anorexiants, antiarrythmics, antide-

pressants, anticoagulants, antidiarrhoeotics, antihistamines, antimalariels, antineoplastics, immunosuppressives, antiparkinsonians, antipsychotics, antiplatelets, diuretics, antihyperlipidics.

5 12. A method according to any one of the claims 1-11, wherein the drug for the solid core is potassium chloride, theophylline, a theophylline salt, phenylpropanolamine, sodium salicylate, choline theophyllinate, paracetamole, carbidopa, levodopa, diltiazem, enalapril, 10 verapamil, naproxen, pseudoephedrin, nicorandil, oxybutin, morphine, oxycodone or propranolol.

15 13. A method according to any one of the claims 1-12, wherein the aqueous dispersion includes at most 20%, preferably at most 10% and most preferably at most 5% by weight of organic solvent.

14. A method according to any one of the claims 1-12, wherein the obtained coated cores are cured with heat or moisture.

20 15. A method according to any one of the claims 1-17, wherein the pore-former in the coating suspension is stabilized with one or more ionic, non-ionic or polymer surfactants.

16. A method according to any one of the claims 1-18, wherein the coating polymer is plasticized.

25 17. A controlled-release pharmaceutical preparation including a drug-containing solid core having a coating thereon, said coating essentially consisting of a water insoluble polymer with a predetermined amount of particles of a water soluble, pore-forming agent dispersed 30 therein, wherein the pore-forming agent is selected from the group consisting of potassium bitartrate, creatine, aspartic acid, glutamic acid and inosine.

35 18. A controlled-release pharmaceutical preparation including a drug-containing solid core having a coating thereon, said coating essentially consisting of a water insoluble polymer with a predetermined amount of particles of a water soluble, pore-forming agent dispersed

therein, wherein the pore-forming agent is selected from the group consisting of asparagine, glutamine leucin, ne-

roleucine, isoleucine, magnesium phosphate, magnesium carbonate, magnesium hydroxide, chitosan and poly(butyl 5 methacrylate, (2-dimethyl aminoethyl) methacrylate, methyl methacrylate) 1:2:1 or a composition wherein at least one component is selected from one of these substances.

19. Preparation according to any one of the claims  
10 17 or 18, wherein the amount of the pore-forming agent is  
40-95, preferably 50-90% and most preferably 55-88 % by  
weight of the total weight of the dry coating.

20. Preparation according to any one of the claims  
17-19 wherein the polymer is ethylcellulose, cellulose-  
15 acetate, celluloseacetatebutyrate, celluloseacetatepropi-  
onate, nitrocellulose, polymethylmethacrylate,  
poly(ethylacrylate, methylmethacrylate), polyvinylacetate,  
polyvinylchloride, polyethylene, polyisobutylene,  
poly(ethylacrylate, methylmethacrylate, trimethylamo-  
20 nioethylmethacrylatchloride), a block- or copolymer of the  
polymers or a composition wherein at least one of the  
components is selected from these polymers.

21. Preparation according to any one of the claims  
17-19, wherein the coating polymer is a copolymer con-  
25 sisting of 50-100% by weight of polyvinyl chloride and 0-  
50% by weight of polyvinyl acetate.

22. Preparation according to claim 17-19, wherein  
the coating polymer is a copolymer consisting of 80-95%  
by weight of polyvinylchloride, 0,5-19% by weight of  
30 polyvinylacetate and 0,5-10% by weight of polyvinylalco-  
hol.